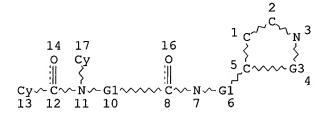
=> d que

2725719 SEA FILE=REGISTRY ABB=ON PLU=ON NRS>2 AND N>2 AND O>1 L3

760356 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND (NC4/ES OR NC5/ES)

L9 STR



REP G1=(0-4) CH2

REP G3=(1-2) CH2

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 5

NUMBER OF NODES IS 15

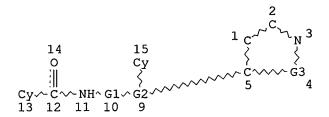
STEREO ATTRIBUTES: NONE

7 SEA FILE=REGISTRY SUB=L3 SSS FUL L9 L11

L12 O SEA FILE=HCAPLUS ABB=ON PLU=ON L11 => d que

L2 2725719 SEA FILE=REGISTRY ABB=ON PLU=ON NRS>2 AND N>2 AND O>1 L3 760356 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND (NC4/ES OR NC5/ES)

L13 STR



REP G1=(0-4) CH2 VAR G2=CH/N REP G3=(1-2) CH2

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC

NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

55 SEA FILE=REGISTRY SUB=L3 SSS FUL L13 L15 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 L16

=> d ibib ab hitstr 1-3

L16 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2002:754356 HCAPLUS

DOCUMENT NUMBER:

137:279095

TITLE:

Preparation of N-[biaryl(piperidinyl)ethyl]-N'-

arylureas and analogs as melanin-concentrating hormone

receptor antagonists

INVENTOR(S):

Clader, John W.; Josien, Hubert B.; Palani, Anandan;

Chan, Tin-Yau

PATENT ASSIGNEE(S):

SOURCE:

Schering Corporation, USA

PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND					ND	DATE			Α	PPLI	CATI	ои ис	ο.	DATE					
									_										
W	WO 2002076947 A1					1	2002	1003		W	0 20	02-U	5833	8	20020320				
	W	: AI	Ξ,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CC	ο,	CR,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	HR,	HU,	
		II	Ο,	IL,	IN,	IS,	JP,	KG,	KR,	KZ,	LC,	LK,	LR,	LT,	LU,	LV,	MA,	MD,	
		MO	G,	MK,	MN,	MX,	MZ,	NO,	NZ,	PH,	PL,	PT,	RO,	RU,	SE,	SG,	SI,	SK,	
		SI	L,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UZ,	VN,	YU,	ZA,	ZM,	AM,	AZ,	BY,	

KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: US 2001-277584P P 20010321 OTHER SOURCE(S): MARPAT 137:279095 Title compds., e.g., RZCH(Z1R1)CH2Z2CONHR2 (Z = piperidine-1,4-diyl, Z1 = AB 1,4-phenylene)[I; R = H, (cyclo)alkyl, alkylsulfonyl, etc.; R1 = (un) substituted Ph or 3-pyridinyl; R2 = halophenyl, (un) substituted pyridinyl, etc.; Z2 = O or NH] were prepd. Thus, BocZCH(Z1Br)CH2OH (prepn. given) was aminated and the product condensed with 3,5-Cl2C6H3NCO to give BocZCH(Z2Br)CH2NHCONHC6H3Cl3-3,5 which was converted in 3 steps to title compd. II. Data for biol. activity of title compds. were given. IT 464160-21-2P 464160-49-4P 464160-77-8P 464161-04-4P 464161-05-5P 464161-31-7P 464161-50-0P 464161-67-9P 464161-93-1P 464161-94-2P 464162-21-8P 464162-22-9P 464162-49-0P 464162-50-3P 464162-79-6P 464162-80-9P 464163-07-3P 464163-08-4P 464163-21-1P 464163-25-5P 464163-46-0P 464163-82-4P 464164-03-2P 464164-05-4P 464164-07-6P 464164-33-8P 464164-37-2P 464164-70-3P 464164-96-3P 464165-21-7P 464165-22-8P 464165-51-3P 464166-07-2P 464166-34-5P 464166-35-6P 464166-61-8P 464166-62-9P 464166-89-0P 464166-90-3P 464167-16-6P 464167-17-7P 464167-18-8P 464167-45-1P 464167-46-2P 464167-73-5P 464168-01-2P 464168-29-4P 464168-55-6P 464168-56-7P RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses) (prepn. of N-[biaryl(piperidinyl)ethyl]-N'-arylureas and analogs as melanin-concg. hormone receptor antagonists) RN464160-21-2 HCAPLUS 4-Morpholinecarboxamide, N-[2-(3'-fluoro[1,1'-biphenyl]-4-yl)-2-(1-methyl-CN 4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \\ & \\ \\ \text{N} \\ \hline \\ \text{C-NH-CH}_2\text{-CH} \\ \end{array}$$

RN 464160-49-4 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[1-(cyclopropylmethyl)-4-piperidinyl]-2-(3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464160-77-8 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(1-cyclopentyl-4-piperidinyl)-2-(3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464161-04-4 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]-2-(3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464161-05-5 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]-2-(3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464161-31-7 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(3'-cyano[1,1'-biphenyl]-4-yl)-2-(1-methyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
Me \\
N \\
N \\
C-NH-CH_2-CH
\end{array}$$

RN 464161-50-0 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(3'-cyano[1,1'-biphenyl]-4-yl)-2-[1-(cyclopropylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 464161-67-9 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(3'-cyano[1,1'-biphenyl]-4-yl)-2-(1-cyclopentyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 464161-93-1 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-(3'-cyano[1,1'-biphenyl]-4-yl)-2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 464161-94-2 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(3'-cyano[1,1'-biphenyl]-4-yl)-2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 464162-21-8 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]-2-(1-methyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 464162-22-9 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]-2-(1-methyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O \\
N - C - NH - CH_2 - CH - CH_2 - CH - CH_2 -$$

RN 464162-49-0 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]-2-[1-(cyclopropylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 464162-50-3 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]-2-[1-(cyclopropylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 464162-79-6 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]-2-(1-cyclopentyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 464162-80-9 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]-2-(1-cyclopentyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 464163-07-3 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]-2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 464163-08-4 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]-2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 464163-21-1 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[1-(cyclopropylmethyl)-4-piperidinyl]-2-(3'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464163-25-5 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]-2-(3'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464163-46-0 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(1-cyclopentyl-4-piperidinyl)-2-(3'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464163-82-4 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-(3'-methoxy[1,1'-biphenyl]-4-yl)-2-(1-methyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 464164-03-2 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(3'-chloro[1,1'-biphenyl]-4-yl)-2-(1-methyl-

4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 464164-05-4 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(3'-methoxy[1,1'-biphenyl]-4-yl)-2-(1-methyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 464164-07-6 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-(1-cyclopentyl-4-piperidinyl)-2-(3'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464164-33-8 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]-2-(3'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464164-37-2 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-[1-(cyclopropylmethyl)-4-piperidinyl]-2-(3'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464164-70-3 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(3'-chloro[1,1'-biphenyl]-4-yl)-2-[1-(cyclopropylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 464164-96-3 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(3'-chloro[1,1'-biphenyl]-4-yl)-2-(1-cyclopentyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 464165-21-7 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-(3'-chloro[1,1'-biphenyl]-4-yl)-2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 464165-22-8 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(3'-chloro[1,1'-biphenyl]-4-yl)-2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

$$O = C$$

$$O =$$

RN 464165-51-3 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(4'-fluoro[1,1'-biphenyl]-4-yl)-2-(1-methyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 464166-07-2 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(1-cyclopentyl-4-piperidinyl)-2-(4'-fluoro[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464166-34-5 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]-2-(4'-fluoro[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464166-35-6 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]-2-(4'-fluoro[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464166-61-8 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-(4'-methoxy[1,1'-biphenyl]-4-yl)-2-(1-methyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 464166-62-9 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(4'-methoxy[1,1'-biphenyl]-4-yl)-2-(1-methyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ \hline & \\ N \\ \hline & \\ O \\ \end{array}$$

RN 464166-89-0 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-[1-(cyclopropylmethyl)-4-piperidinyl]-2-(4'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464166-90-3 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[1-(cyclopropylmethyl)-4-piperidinyl]-2-(4'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464167-16-6 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-(1-cyclopentyl-4-piperidinyl)-2-(4'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464167-17-7 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(1-cyclopentyl-4-piperidinyl)-2-(4'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464167-18-8 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[1-(cyclopropylmethyl)-4-piperidinyl]-2-(4'-fluoro[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464167-45-1 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]-2-(4'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464167-46-2 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]-2-(4'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 464167-73-5 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-(1-methyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 464168-01-2 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-[1-(cyclopropylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 464168-29-4 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-(1-cyclopentyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 464168-55-6 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

$$O = C$$

$$O =$$

RN 464168-56-7 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ N \\ O \\ C \\ CH_2 \\ CH_2 \\ N \\ CH_2 \\ O \\ O \end{array}$$

IT 464159-49-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-[biaryl(piperidinyl)ethyl]-N'-arylureas and analogs as melanin-concq. hormone receptor antagonists)

RN 464159-49-7 HCAPLUS

CN 1H-Indole-1-carboxamide, N-[2-(3'-cyano[1,1'-biphenyl]-4-yl)-2-[1-(2-methoxyethyl)-4-piperidinyl]ethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH}_2-\text{CH}_2 \\ \hline \\ \text{N} \\ \hline \\ \text{N-C-NH-CH}_2-\text{CH} \\ \end{array}$$

IT 464169-10-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-[biaryl(piperidinyl)ethyl]-N'-arylureas and analogs as melanin-concq. hormone receptor antagonists)

RN 464169-10-6 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-(4-bromophenyl)-2-[[(2,3-dihydro-1H-indol-1-yl)carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2000:161119 HCAPLUS

DOCUMENT NUMBER: 132:203174

TITLE: Inhibitors of p38-.alpha. kinase, preparation thereof,

and therapeutic use

INVENTOR(S): Goehring, R. Richard; Luedtke, Gregory R.; Mavunkel,

Babu J.; Chakravarty, Sarvajit; Dugar, Sundeep;

Schreiner, George F.; Liu, David Y.; Lewicki, John A.

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

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PATENT NO. KIND DATE
                                    APPLICATION NO. DATE
    -----
                                          _____
    WO 2000012074 A2 20000309 WO 1999-US19845 19990827 WO 2000012074 A3 20000831
        W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL,
            IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ,
             PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG,
             KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                     CA 1999-2342251 19990827
AU 1999-57936 19990827
EP 1999-945316 19990827
                    AA 20000309
    CA 2342251
    AU 9957936
                      A1 20000321
                      A2 20010620
    EP 1107758
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                     A 20011127
                                           BR 1999-13654
                                                             19990827
    BR 9913654
                          20020730
    JP 2002523448
                      T2
                                           JP 2000-567192
                                                             19990827
                                        US 1998-98219P P 19980828
PRIORITY APPLN. INFO.:
                                        US 1999-125343P P 19990319
US 1998-125343P P 19990319
WO 1999-US19845 W 19990827
```

OTHER SOURCE(S): MARPAT 132:203174

AB Methods are provided for treating conditions mediated by p38-.alpha. kinase using compds. I (Z = N, CR1; R1 = noninterfering substituent; X1, X2 = linker; Ar1, Ar2 = (un)substituted C1-20 hydrocarbyl (at least one of Ar1 and Ar2 = (un)substituted aryl), with proviso that when X2 = CH2 or an isostere thereof, X1 = CO or an isostere thereof, and Ar2 = (un)substituted Ph, Ar1 is other than (un)substituted indolyl, benzimidazolyl or benzotriazolyl, and wherein (un)substituted Ph is not (un)substituted indolyl, benzimidazolyl, or benzotriazolyl; Y = noninterfering substituent; n, m = 0-4; l = 0-3) or a pharmaceutically acceptable salt or pharmaceutical compn. thereof. Prepn. of compds. is described. Compds. of the invention may be used to treat p38-.alpha. kinase-mediated conditions.

IT 260427-83-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(p38-.alpha. kinase inhibitors, prepn., and therapeutic use)

RN 260427-83-6 HCAPLUS

CN 4-Pyridinecarboxamide, N-[[1-(2,4-dimethoxybenzoyl)-4-piperidinyl]phenylmethyl]- (9CI) (CA INDEX NAME)

L16 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1999:460399 HCAPLUS

DOCUMENT NUMBER:

131:87814

TITLE:

Indole derivatives as inhibitors of factor Xa, and

their preparation and use as anticoagulants

INVENTOR(S):

Defossa, Elisabeth; Heinelt, Uwe; Klingler, Otmar;

Zoller, Gerhard; Al-Obeidi, Fahad; Walser, Armin;

Wildgoose, Peter; Matter, Hans

PATENT ASSIGNEE(S):

Hoechst Marion Roussel Deutschland Gmbh, Germany

SOURCE: PCT

PCT Int. Appl., 199 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P.F	PATENT NO.					DATE			A	PPLI	CATI	ON N	DATE				
WC	WO 9933800				A1 19990708					10 19	 98-Е	0	19981210				
	W:	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
		KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,
		MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,
		TR,	TT,	UA,	ŪG,	US,	UZ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,
			TM														
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		FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,
			GΑ,														
		6172															
		0528							A	U 19	99-2	0528		1998	1210		
ΑU	743	881		В	2	2002	0207										
		4340															
E	104	2287		Α	1	2000	1011		E	P 19	98-9	6524	4	1998	1210		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,
			FI														
JI	JP 2001527066			\mathbf{T}	2	20011225			J	P 20	00-5	2648	4	19981210			
		1759												1998			
NC	200	00030)57	Α		2000	0818		N	O 20	00-3	057		2000	0614		
បន	633	7344		В	1	2002	0108		U	S 20	00-5	8234	4	2000	0814		
PRIORIT	Y AP	PLN.	INFO	.:					EP 1	.997-	1229	01	Α	1997	1224		

WO 1998-EP8030 W 19981210

OTHER SOURCE(S):

MARPAT 131:87814

The invention relates to the inhibition of blood clotting proteins, and more particularly, to indole derivs. or their physiol. acceptable salts which effect this, having formula I [Rl groups = H, halo, alkyl, CF3, (un) substituted Ph or phenylalkoxy, etc., with .gtoreq.2 of R1 being H; .qtoreq.1 of R2 and R3 = (CH2)0-2CO2H or derivs., other = H, F, Cl, Br, or alkyl; or R2R3 = CH2CH2N(COPh)CH2 or analogs; A = bond, alk(en/yn)ylene, CO, SO, SO2, etc.; R4 = (un) substituted Ph, pyridyl, or other heterocyclyl]. I are inhibitors of the blood clotting enzyme factor Xa. The invention also relates to processes for the prepn. of I, to methods of inhibiting factor Xa activity and blood clotting, to use of I in the treatment and prophylaxis of assocd. (e.g., thromboembolic) diseases, and to the use of I in the prepn. of related medicaments. The invention further relates to compns. contg. I, in particular pharmaceutical compns. contg. a compd. I and pharmaceutically acceptable carriers and/or auxiliary substances. Over 160 compds. I were prepd. For instance, 1H-indole-2-carboxylic acid Et ester underwent a 5-step sequence to give title salt II. This prepn. involved (1) N-alkylation with 3-cyanobenzyl bromide, (2) alk. hydrolysis of the ester, (3) amidation with 4-(Me2N)C6H4CH2NH2.2HCl, (4) conversion of the nitrile to a thioamide, and (5) quaternization at dimethylamino, and ammonolysis of the thioamide to an amidine. In an assay using human factor Xa in vitro, II had a Ki value of 0.090 .mu.M.

IT 229950-62-3P 229951-92-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of indole derivs. as inhibitors of factor Xa) 229950-62-3 HCAPLUS

RN

1H-Indole-2-carboxamide, 1-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(6chloro-2-naphthalenyl) (1-methyl-4-piperidinyl) methyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CN

CRN 229950-61-2 CMF C34 H34 C1 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 229951-92-2 HCAPLUS

CN Piperidinium, 4-[[[[1-[[3-(aminoiminomethyl)phenyl]methyl]-1H-indol-2-yl]carbonyl]amino](6-chloro-2-naphthalenyl)methyl]-1,1-dimethyl-, salt with trifluoroacetic acid (1:1), mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 76-05-1 CMF C2 H F3 O2

CM 2

CRN 229951-91-1 CMF C35 H37 Cl N5 O . C2 F3 O2

CM 3

CRN 229951-90-0 CMF C35 H37 C1 N5 O

CM 4

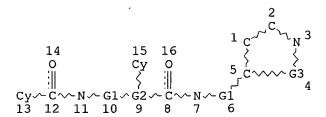
CRN 14477-72-6 CMF C2 F3 O2

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d que

L1 STR



REP G1=(0-4) CH2 VAR G2=CH/N, REP G3=(1-2) CH2 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 1

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L2 2725719 SEA FILE=REGISTRY ABB=ON PLU=ON NRS>2 AND N>2 AND O>1

L3 760356 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND (NC4/ES OR NC5/ES)

L5 172 SEA FILE=REGISTRY SUB=L3 SSS FUL L1 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L5

=> d ibib ab hitstr 1-8

L6 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:242871 HCAPLUS

DOCUMENT NUMBER: 137:17075

TITLE: Prime Site Binding Inhibitors of a Serine Protease:

NS3/4A of Hepatitis C Virus

AUTHOR(S): Ingallinella, Paolo; Fattori, Daniela; Altamura,

Sergio; Steinkuehler, Christian; Koch, Uwe; Cicero, Daniel; Bazzo, Renzo; Cortese, Riccardo; Bianchi,

Elisabetta; Pessi, Antonello

CORPORATE SOURCE: IRBM P. Angeletti, Pomezia (Rome), 00040, Italy

SOURCE: Biochemistry (2002), 41(17), 5483-5492

CODEN: BICHAW; ISSN: 0006-2960

CODEN. BIGHAW, 155N. 0000 2500

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB Serine proteases are the most studied class of proteolytic enzymes and a primary target for drug discovery. Despite the large no. of inhibitors developed so far, very few make contact with the prime site of the enzyme, which constitutes an almost untapped opportunity for drug design. In the course of our studies on the serine protease NS3/4A of hepatitis C virus (HCV), we found that this enzyme is an excellent example of both the opportunities and the challenges of such design. We had previously reported on two classes of peptide inhibitors of the enzyme: (a) product inhibitors, which include the P6-P1 region of the substrate and derive

much of their binding energy from binding of their C-terminal carboxylate in the active site, and (b) decapeptide inhibitors, which span the S6-S4' subsites of the enzyme, whose P2'-P4' tripeptide fragment crucially contributes to potency. Here we report on further work, which combined the key binding elements of the two series and led to the development of inhibitors binding exclusively to the prime site of NS3/4A. We prepd. a small combinatorial library of tripeptides, capped with a variety of constrained and unconstrained diacids. The SAR was derived from multiple analogs of the initial micromolar lead. Binding of the inhibitor(s) to the enzyme was further characterized by CD, site-directed mutagenesis, a probe displacement assay, and NMR to unequivocally prove that, according to our design, the bound inhibitor(s) occupies (occupy) the S' subsite and the active site of the protease. In addn., on the basis of the information collected, the tripeptide series was evolved toward reduced peptide character, reduced mol. wt., and higher potency. Beyond their interest as HCV antivirals, these compds. represent the first example of prime site inhibitors of a serine protease. We further suggest that the design of an inhibitor with an analogous binding mode may be possible for other serine proteases.

IT 433291-33-9P

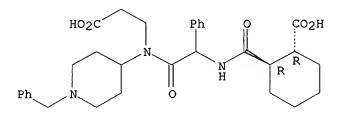
RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation); PRP (Properties); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)

(prime site binding inhibitors of serine protease NS3/4A of hepatitis C Virus)

RN 433291-33-9 HCAPLUS

CN .beta.-Alanine, N-[[(1R,2R)-2-carboxycyclohexyl]carbonyl]-2-phenylglycyl-N[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:237356 HCAPLUS

DOCUMENT NUMBER: 136:263090

TITLE: Preparation of cyclic amine derivatives for inhibition

of the action of chemokines such as MIP-1.alpha.

and/or MCP-1 on target cells

INVENTOR(S): Shiota, Tatsuki; Kataoka, Ken-Ichiro; Imai, Minoru;

Tsutsumi, Takaharu; Sudoh, Masaki; Sogawa, Ryo; Morita, Takuya; Hada, Takahiko; Muroga, Yumiko; Takenouchi, Osami; Furuya, Minoru; Endo, Noriaki; Tarby, Christine M.; Moree, Wilna; Teiq, Steven

PATENT ASSIGNEE(S): Teijin Limited, Japan; Dupont Pharmaceuticals Research

Laboratories

SOURCE: U.S., 364 pp., Cont. of U.S. Ser. No. 554,562.

CODEN: USXXAM

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KI	ND DATE	Al	PPLICATION	DATE		
US 6362177	В:	200203	26 US	s 2001-9050	78	20010716	
US 6451842	B	1 200209	L7 U:	s 2000-5545	562	20000516	
US 6410566	B	200206	25 US	S 2001-9050	77	20010716	
PRIORITY APPLN.	INFO.:		US 20	000-554562	A 3	20000516	
			US 19	997-972484	B1	19971118	
			US 19	998-55285	В1	19980406	
			US 19	998-133434	В1	19980813	
			WO 19	998-US23254	1 W	19981117	

OTHER SOURCE(S): MARPAT 136:263090

AB The title compds. [I; R1 = (un) substituted Ph, cycloalkyl, heteroaryl, etc.; R2 = H, alkyl, alkoxycarbonyl, etc.; j = 0-2; k = 0-2; m = 3-4 and k+m = 5 or 6; n = 0-1; R3 = H, alkyl; R4, R5 = H, OH, Ph, etc.; p, q = 0-1; G = CO, SO, CO2, etc.; R6 = Ph, cycloalkyl, cycloalkenyl, etc.] and their pharmaceutically acceptable acid addn. salts which inhibit the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells and may be useful as a therapeutic drug and/or preventative drug in diseases, such as atherosclerosis, rheumatoid arthritis, and the like where blood monocytes and lymphocytes infiltrate into tissues, were prepd. Thus, reaction of N-benzoylglycine with 3-amino-1-(4-chlorobenzyl)pyrrolidine.2HCl in the presence of 3-ethyl-1-[3-(dimethylaminopropyl)]carbodiimide.HCl, 1-hydroxybenzotriazole and Et3N in CHCl3 afforded 95% II which showed 50-80% inhibition of MIP-1.alpha. binding to THP-1 cells at 10 .mu.M.

IT 226248-06-2P, Benzeneacetamide, N-[[1-[(4-chlorophenyl)methyl]-4piperidinyl]methyl]-.alpha.-[(3-ethoxybenzoyl)amino]-, (.alpha.R)RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(prepn. of cyclic amine derivs. for inhibition of action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells)

RN 226248-06-2 HCAPLUS

CN Benzeneacetamide, N-[[1-[(4-chlorophenyl)methyl]-4-piperidinyl]methyl].alpha.-[(3-ethoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: DOCUMENT NUMBER:

2001:923765 HCAPLUS

TITLE:

136:37947 Preparation of amino acid derivatives as serine

protease inhibitors

INVENTOR(S):

Liebeschuetz, John Walter; Murray, Christopher

William; Young, Stephen Clinton; Camp, Nicholas Paul;

Jones, Stuart Donald; Wylie, William Alexander;

Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott Martin; Engel, David Birenbaum; Watson, Brian

Morgan

PATENT ASSIGNEE(S):

SOURCE:

Eli Lilly and Company, USA PCT Int. Appl., 188 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

Mich

P.	PATENT NO.					KIND DATE				PPLI	CATI	DATE						
M.	A1 20011220				W	0 20	01-G	B255	1	20010612								
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W	WO 2000076971			A		2000												
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PRIORI'	TY AP	PLN.	INFO	.:				WO 2000-GB2302					W	20000613				
							GB 2000-30305					Α	20001213					
									GB 1999-13823				Α	1999	0614			
									US 1	999-	1420	64P	Ρ	1999	0702			
									GB 1	999-	1874	1	Α	1999	0809			
								GB 1	999-	2955	3	Α	1999	1214				
	COLLDCI		MADDAT 136.37947															

OTHER SOURCE(S): MARPAT 136:37947

Compds. R2-X-Y(Cy)-L-Lp(D)n [R2 is a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5- or 6-membered carbocyclic or heterocyclic ring, or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH,

alkylamino, alkoxy, oxo, aryl or cycloalkyl; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; -Lp(D)n is 1-[R10-(Lb)u-(G)t-(La)s]-3-pyrrolidinyl or -4-piperidinyl, where s, t and u=0 or 1; La and Lb is a single bond, CO, O, NH or alkylimino; G=alkanediyl; R10=alkyl, cycloalkyl, indanyl, pyridyl, tetrahydropyranyl, (un)substituted Ph, etc.] or their physiol.-tolerable salts were prepd. for use as serine protease and factor Xa inhibitors in the treatment of cardiovascular disorders. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 4-[(4-methoxybenzoyl-D-phenylglycinyl)aminomethyl]-1-isopropylpiperidine was prepd. in the first of 106 examples.

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IT
    313488-55-0P 313488-56-1P 313488-57-2P
     313488-58-3P 313488-59-4P 313488-60-7P
     313488-61-8P 313488-62-9P 313488-63-0P
     313488-64-1P 313488-65-2P 313488-66-3P
     313488-67-4P 313488-68-5P 313488-69-6P
     313488-70-9P 313488-71-0P 313488-72-1P
     313488-73-2P 313488-74-3P 313489-06-4P
    313489-07-5P 380899-61-6P 380899-62-7P
    380899-63-8P 380899-64-9P 380899-65-0P
    380899-66-1P 380899-67-2P 380899-68-3P
    380899-70-7P 380899-72-9P 380899-74-1P
     380899-76-3P 380899-78-5P 380899-80-9P
     380899-82-1P 380899-84-3P 380899-86-5P
     380899-88-7P 380899-90-1P 380899-92-3P
     380899-94-5P 380899-95-6P 380899-97-8P
     380899-99-0P 380900-25-4P 380900-27-6P
     380900-29-8P 380900-31-2P 380900-33-4P
     380900-35-6P 380900-37-8P 380900-39-0P
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     380900-53-8P 380900-55-0P 380900-57-2P
    380900-59-4P 380900-61-8P 380900-62-9P
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     380900-77-6P 380900-79-8P 380900-81-2P
     380900-83-4P 380900-85-6P 380900-86-7P
     380900-88-9P 380900-90-3P 380900-92-5P
     380900-94-7P 380900-96-9P 380900-98-1P
     380901-00-8P 380901-02-0P 380906-92-3P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (prepn. of amino acid derivs. as serine protease inhibitors)
    313488-55-0 HCAPLUS
RN
    Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-
CN
     4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)
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RN 313488-56-1 HCAPLUS

CN Benzeneacetamide, N-[[1-(1-ethylpropyl)-4-piperidinyl]methyl]-.alpha.-[(4methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-57-2 HCAPLUS

CN Benzeneacetamide, N-[[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-58-3 HCAPLUS

CN Benzeneacetamide, N-[(1-cyclopentyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 313488-59-4 HCAPLUS

CN Benzeneacetamide, N-[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]-.alpha.[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-60-7 HCAPLUS

CN Benzeneacetamide, N-[(1-cyclohexyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-61-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 313488-62-9 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-63-0 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[(1-methyl-4-piperidinyl)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-64-1 HCAPLUS

CN Benzeneacetamide, N-[(1-ethyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 313488-65-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-66-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-67-4 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 313488-68-5 HCAPLUS

CN Benzeneacetamide, N-[1-(1-ethylpropyl)-4-piperidinyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-69-6 HCAPLUS

CN Benzeneacetamide, N-[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-70-9 HCAPLUS

CN Benzeneacetamide, N-(1-cyclopentyl-4-piperidinyl)-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-71-0 HCAPLUS

CN Benzeneacetamide, N-(1-cyclohexyl-4-piperidinyl)-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 313488-72-1 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[1-(1-methylethyl)-4-piperidinyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-73-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[(1-cyclopentyl-4-piperidinyl)amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-74-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[1-(cyclohexylmethyl)-4-piperidinyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 313489-06-4 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313489-07-5 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380899-61-6 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylpropyl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 380899-62-7 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(1-ethylpropyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380899-63-8 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380899-64-9 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[(1-cyclohexyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 380899-65-0 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380899-66-1 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380899-67-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[[[1-(phenylmethyl)-4-piperidinyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 380899-68-3 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380899-70-7 HCAPLUS

CN Benzo[b]thiophene-2-carboxamide, 6-chloro-N-[(1R)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-69-4

CMF C28 H32 C1 N3 O2 S

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-72-9 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-71-8 CMF C26 H31 Cl N4 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-74-1 HCAPLUS
CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-73-0 CMF C27 H34 N4 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-76-3 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-75-2 CMF C26 H31 Cl N4 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-78-5 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (.alpha.R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-77-4 CMF C27 H30 N4 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-80-9 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-79-6 CMF C28 H29 N5 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-82-1 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-oxo-1-phenyl-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-81-0 CMF C29 H31 N5 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-84-3 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-83-2 CMF C28 H28 C1 N5 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-86-5 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-oxo-1-phenyl-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-85-4 CMF C28 H28 Cl N5 O2 Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-88-7 HCAPLUS

CN Benzo[b]thiophene-2-carboxamide, 6-chloro-N-[(1R)-2-oxo-1-phenyl-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-87-6

CMF C28 H27 Cl N4 O2 S

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-90-1 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[[(1'-methyl[1,4'-bipiperidin]-4-yl)methyl]amino]-2-oxo-1-phenylethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 380899-89-8 CMF C29 H36 Cl N5 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-92-3 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-[[(1'-methyl[1,4'-bipiperidin]-4-yl)methyl]amino]-2-oxo-1-phenylethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 380899-91-2 CMF C30 H39 N5 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-94-5 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[[(1'-methyl[1,4'-bipiperidin]-4-yl)methyl]amino]-2-oxo-1-phenylethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 380899-93-4 CMF C29 H36 Cl N5 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-95-6 HCAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]methylamino]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 380899-97-8 HCAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[2-(1-methyl-4-piperidinyl)ethyl]amino]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-96-7 CMF C25 H30 N4 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 380899-99-0 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[2-[1-(1-methylethyl)-4-piperidinyl]ethyl]amino]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-98-9 CMF C27 H34 N4 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 380900-25-4 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-1-(2-naphthalenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 380900-27-6 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-1-(1-naphthalenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 380900-29-8 HCAPLUS

CN 4-Quinolineacetamide, .alpha.-[[(3-chloro-1H-indol-6-yl)carbonyl]amino]-N- [(1-cyclopentyl-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

RN 380900-31-2 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-(2-thiazolyl)ethyl]- (9CI) (CA INDEX NAME)

RN 380900-33-4 HCAPLUS

CN 2-Furanacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 380900-35-6 HCAPLUS

CN 3-Furanacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 380900-37-8 HCAPLUS

CN 2-Thiopheneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 380900-39-0 HCAPLUS

CN 3-Thiopheneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 380900-43-6 HCAPLUS

CN Benzeneacetamide, 2-methoxy-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME).

HCl

RN 380900-44-7 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-2-methyl-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 380900-45-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-2-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 380900-47-0 HCAPLUS

CN 4-Quinolineacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 380900-49-2 HCAPLUS

CN 1H-Imidazole-2-acetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-pi.peridinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 380900-51-6 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 380900-53-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 380900-55-0 HCAPLUS

CN Benzeneacetamide, 2-[(1,1-dimethylethyl)thio]-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 380900-57-2 HCAPLUS

CN Benzeneacetamide, 2-[(1,1-dimethylethyl)sulfonyl]-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 380900-59-4 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-

4-piperidinyl]methyl]-2-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)

RN 380900-61-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-2-phenoxy- (9CI) (CA INDEX NAME)

RN 380900-62-9 HCAPLUS

CN Benzeneacetamide, 2-hydroxy-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 380900-63-0 HCAPLUS

CN Benzeneacetamide, 2-ethoxy-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 380900-64-1 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 380900-65-2 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-2-nitro-(9CI) (CA INDEX NAME)

RN 380900-66-3 HCAPLUS

CN Benzeneacetamide, 2-amino-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 380900-67-4 HCAPLUS

CN Benzeneacetamide, 2-(acetylamino)-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 380900-68-5 HCAPLUS

CN Benzeneacetamide, 2-(dimethylamino)-.alpha.-[(4-methoxybenzoyl)amino]-N[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 380900-70-9 HCAPLUS

CN Benzeneacetamide, 2-chloro-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 380900-73-2 HCAPLUS

CN Benzeneacetamide, 2-iodo-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 380900-75-4 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 380900-77-6 HCAPLUS

CN Benzeneacetamide, 2-bromo-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 380900-79-8 HCAPLUS

CN Benzeneacetamide, 2-chloro-N-[(1-cyclopentyl-4-piperidinyl)methyl]-.alpha.[(4-methoxybenzoyl)amino]- (9CI) (CA INDEX NAME)

RN 380900-81-2 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[1-(2-chlorophenyl)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 380900-83-4 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[1-(2-chlorophenyl)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 380900-85-6 HCAPLUS

CN Acetic acid, [2-[1-[(4-methoxybenzoyl)amino]-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxoethyl]phenoxy]-, ethyl ester,

monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ i-\text{Pr} & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & \\ & & \\ & & \\ & \\ & \\ & & \\ & \\ & \\ & \\ & & \\ & \\ & \\ & & \\ & \\ & \\ & \\ & \\ & \\ & \\$$

● HCl

RN 380900-86-7 HCAPLUS

CN Acetic acid, [2-[1-[(4-methoxybenzoyl)amino]-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxoethyl]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & \text{i-Pr} & & \text{O} & & \text{O} \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 380900-88-9 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 380900-90-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 380900-92-5 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 380900-94-7 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[2-(1-cyclopentyl-4-piperidinyl)ethyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 380900-96-9 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[[2-(1-cyclopentyl-4-piperidinyl)ethyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380900-98-1 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 380901-00-8 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 380901-02-0 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380906-92-3 HCAPLUS

i-Pr

CN Benzeneacetamide, 2-fluoro-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

OMe

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313490-44-7 HCAPLUS

380902-69-2P 380902-71-6P 380902-72-7P

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(4-methoxybenzoyl)amino]phenylacet yl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 313490-45-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-46-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(1H-indol-6-ylcarbonyl)amino]phenylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-47-0 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[(4-piperidinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

RN 313490-50-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(2R)-[(4-methoxybenzoyl)amino]phenylacety l]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-51-6 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-4-piperidinyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-52-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(2R)-[(1H-indol-6-ylcarbonyl)amino]phenylacetyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 313490-53-8 HCAPLUS

CN lH-Indole-6-carboxamide, N-[(lR)-2-oxo-1-phenyl-2-(4-piperidinylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 380901-65-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(3-chloro-1H-indol-6-yl)carbonyl][(2,4-dimethoxyphenyl)methyl]amino]-2-naphthalenylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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380901-67-7 HCAPLUS RN

1-Piperidinecarboxylic acid, 4-[[[[((3-chloro-1H-indol-6-yl)carbonyl][(2,4-CNdimethoxyphenyl)methyl]amino]-1-naphthalenylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

380901-69-9 HCAPLUS RN

CN 1-Piperidinecarboxylic acid, 4-[[[[(3-chloro-1H-indol-6-yl)carbonyl][(2,4-dimethoxyphenyl)methyl]amino]-4-quinolinylacetyl]amino]methyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380901-71-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(3-chloro-1H-indol-6-yl)carbonyl][(2,4-dimethoxyphenyl)methyl]amino]-2-thiazolylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380901-73-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]-2-furanylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380901-75-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]-3-furanylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380901-77-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]-2-thienylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380901-79-1 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]-3-thienylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380901-81-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino](2-methoxyphenyl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380901-83-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino](2-methylphenyl)acetyl]amino]methyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380901-85-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino][2-(trifluoromethyl)phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380901-87-1 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]-4-quinolinylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380901-89-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]-1H-imidazol-2-ylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 380901-91-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino][2-(methylthio)phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380901-93-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino][2-[(1,1-dimethylethyl)thio]phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380901-95-1 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino][2-[(trifluoromethyl)thio]phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 380901-97-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino](2-phenoxyphenyl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380901-99-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino](2-ethoxyphenyl)acetyl]amino]methyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380902-01-2 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino][2-(phenylmethoxy)phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 380902-03-4 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino](2-nitrophenyl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380902-05-6 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2-chlorophenyl)[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380902-07-8 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino](2-fluorophenyl)acetyl]amino]methyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380902-09-0 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino](2-iodophenyl)acetyl]amino]methyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380902-11-4 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino][2-(trifluoromethoxy)phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 380902-13-6 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2-bromophenyl)[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380902-15-8 HCAPLUS

CN Benzeneacetamide, 2-chloro-.alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-17-0 HCAPLUS

CN Benzeneacetamide, 2-fluoro-.alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-19-2 HCAPLUS

CN Benzeneacetamide, 2-iodo-.alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-21-6 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)-2-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 380902-23-8 HCAPLUS

CN Benzeneacetamide, 2-bromo-.alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

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RN 380902-25-0 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(5-chloro-1H-indol-2-yl)carbonyl][(2,4-dimethoxyphenyl)methyl]amino](2-chlorophenyl)acetyl]amino]methyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380902-27-2 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[((2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino](2-hydroxyphenyl)acetyl]amino]methyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380902-29-4 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino][2-(2-ethoxy-2-oxoethoxy)phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 380902-31-8 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[1-(2-naphthalenyl)-2-oxo-2-[(4-piperidinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

RN 380902-33-0 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[1-(1-naphthalenyl)-2-oxo-2-[(4-piperidinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

RN 380902-35-2 HCAPLUS

CN 4-Quinolineacetamide, .alpha.-[[(3-chloro-1H-indol-6-yl)carbonyl]amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-37-4 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-oxo-2-[(4-piperidinylmethyl)amino]-1-(2-thiazolyl)ethyl]- (9CI) (CA INDEX NAME)

RN 380902-39-6 HCAPLUS

CN 2-Furanacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-41-0 HCAPLUS

CN 3-Furanacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-43-2 HCAPLUS

CN 2-Thiopheneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-45-4 HCAPLUS

CN 3-Thiopheneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-47-6 HCAPLUS

CN Benzeneacetamide, 2-methoxy-.alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-49-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-2-methyl-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-51-2 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 380902-53-4 HCAPLUS

CN 4-Quinolineacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-55-6 HCAPLUS

CN 1H-Imidazole-2-acetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-57-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-2-(methylthio)-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-59-0 HCAPLUS

CN Benzeneacetamide, 2-[(1,1-dimethylethyl)thio]-.alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-61-4 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)-2-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)

RN 380902-63-6 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-2-phenoxy-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-65-8 HCAPLUS

CN Benzeneacetamide, 2-ethoxy-.alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-67-0 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-2-(phenylmethoxy)-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-69-2 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-2-nitro-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 380902-71-6 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[1-(2-chlorophenyl)-2-oxo-2-[(4-piperidinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

RN 380902-72-7 HCAPLUS

CN Acetic acid, [2-[1-[(4-methoxybenzoyl)amino]-2-oxo-2-[(4-piperidinylmethyl)amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:900614 HCAPLUS

DOCUMENT NUMBER:

134:56958

TITLE:

Preparation of amino acid derivatives as serine

protease inhibitors

INVENTOR(S):

Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Masters, John Joseph; Wiley,

Michael Robert

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA; Protherics Molecular

Design Limited

SOURCE:

PCT Int. Appl., 261 pp.

CODEN: PIXXD2

Patent

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

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OTHER SOURCE(S):
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Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CRla, C(Rla)2 or NRla group, where Rla represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CRlb group (Rlb defined as for Rla); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or

heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n=0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

IT 313488-55-0P 313488-56-1P 313488-57-2P 313488-58-3P 313488-59-4P 313488-60-7P 313488-61-8P 313488-62-9P 313488-63-0P 313488-64-1P 313488-65-2P 313488-66-3P 313488-67-4P 313488-68-5P 313488-69-6P 313488-70-9P 313488-71-0P 313488-72-1P 313488-73-2P 313488-74-3P 313489-06-4P 313489-07-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313488-55-0 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-56-1 HCAPLUS

CN Benzeneacetamide, N-[[1-(1-ethylpropyl)-4-piperidinyl]methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-57-2 HCAPLUS

CN Benzeneacetamide, N-[[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 313488-58-3 HCAPLUS

CN Benzeneacetamide, N-[(1-cyclopentyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-59-4 HCAPLUS

CN Benzeneacetamide, N-[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]-.alpha.[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-60-7 HCAPLUS

CN Benzeneacetamide, N-[(1-cyclohexyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 313488-61-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-62-9 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-63-0 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[(1-methyl-4-piperidinyl)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 313488-64-1 HCAPLUS

CN Benzeneacetamide, N-[(1-ethyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-65-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-66-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 313488-67-4 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-68-5 HCAPLUS

CN Benzeneacetamide, N-[1-(1-ethylpropyl)-4-piperidinyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-69-6 HCAPLUS

CN Benzeneacetamide, N-[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 313488-70-9 HCAPLUS

CN Benzeneacetamide, N-(1-cyclopentyl-4-piperidinyl)-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-71-0 HCAPLUS

CN Benzeneacetamide, N-(1-cyclohexyl-4-piperidinyl)-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-72-1 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[1-(1-methylethyl)-4-piperidinyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 313488-73-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[(1-cyclopentyl-4-piperidinyl)amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-74-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[1-(cyclohexylmethyl)-4-piperidinyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313489-06-4 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 313489-07-5 HCAPLUS

CN lH-Indole-6-carboxamide, N-[(1R)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 313490-44-7P 313490-45-8P 313490-46-9P

313490-47-0P 313490-50-5P 313490-51-6P

313490-52-7P 313490-53-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313490-44-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(4-methoxybenzoyl)amino]phenylacet yl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-45-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-

piperidinylmethyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-46-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(1H-indol-6-ylcarbonyl)amino]phenylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-47-0 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[(4-piperidinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-50-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(2R)-[(4-methoxybenzoyl)amino]phenylacety l]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 313490-51-6 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-4-piperidinyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-52-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(2R)-[(1H-indol-6-ylcarbonyl)amino]phenylacetyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-53-8 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-(4-piperidinylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:900613 HCAPLUS

DOCUMENT NUMBER:

134:56957

TITLE:

Preparation of amino acid derivatives as serine

protease inhibitors

INVENTOR(S):

Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters,

John Joseph; Wiley, Michael John

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA; Protherics Molecular

Design Limited

SOURCE:

PCT Int. Appl., 350 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

English

12

PATENT INFORMATION:

	PA1	CENT 1		KIND		DATE			I	APPLI	CATI	DATE								
							20001221		WO 2000-GB2296 20000613											
	WO	2000076970			A3		20010719													
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	, BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,		
			CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,		
			ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,		
			LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,		
			SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,		
			ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM							
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	, SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,		
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,		
			CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG					
	ΕP	1192	135	•	A	A2 20020403				EP 2000-938912						20000613				
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
			IE,	SI,	LT,	LV,	FI,	RO												
PRIO	RIORITY APPLN. INFO.:								GB 1999-13823					Α	19990614					
								•	US 1999-142064P			Р	19990702							
										GB 1	1999~	1874	1	Α	1999	2809				
										GB 1	1999-	2955	2	A	1999	1214				

GB 1999-29553

A 19991214

WO 2000-GB2296 W 20000613

OTHER SOURCE(S):

MARPAT 134:56957

Compds. R2-X-Y-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring; X is a C, N, O or S atom or a CO, CRla, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for Rla); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

IT 313488-55-0P 313488-56-1P 313488-57-2P 313488-58-3P 313488-59-4P 313488-60-7P 313488-61-8P 313488-62-9P 313488-63-0P 313488-64-1P 313488-65-2P 313488-66-3P 313488-67-4P 313488-68-5P 313488-72-1P 313488-73-2P 313488-74-3P 313489-06-4P

313489-07-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of amino acid derivs. as serine protease inhibitors)

RN 313488-55-0 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-56-1 HCAPLUS

CN Benzeneacetamide, N-[[1-(1-ethylpropyl)-4-piperidinyl]methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 313488-57-2 HCAPLUS

CN Benzeneacetamide, N-[[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-58-3 HCAPLUS

CN Benzeneacetamide, N-[(1-cyclopentyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-59-4 HCAPLUS

CN Benzeneacetamide, N-[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]-.alpha.[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 313488-60-7 HCAPLUS

CN Benzeneacetamide, N-[(1-cyclohexyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-61-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-62-9 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 313488-63-0 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[(1-methyl-4-piperidinyl)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-64-1 HCAPLUS

CN Benzeneacetamide, N-[(1-ethyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-65-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 313488-66-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-67-4 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-68-5 HCAPLUS

CN Benzeneacetamide, N-[1-(1-ethylpropyl)-4-piperidinyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 313488-69-6 HCAPLUS

CN Benzeneacetamide, N-[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-70-9 HCAPLUS

CN Benzeneacetamide, N-(1-cyclopentyl-4-piperidinyl)-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-71-0 HCAPLUS

CN Benzeneacetamide, N-(1-cyclohexyl-4-piperidinyl)-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 313488-72-1 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[1-(1-methylethyl)-4-piperidinyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-73-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[(1-cyclopentyl-4-piperidinyl)amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313488-74-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[1-(cyclohexylmethyl)-4-piperidinyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 313489-06-4 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313489-07-5 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 313490-44-7P 313490-45-8P 313490-46-9P

313490-47-0P 313490-50-5P 313490-51-6P

313490-52-7P 313490-53-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313490-44-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(4-methoxybenzoyl)amino]phenylacet

yl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-45-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-46-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(1H-indol-6-ylcarbonyl)amino]phenylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-47-0 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[(4-piperidinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

RN 313490-50-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(2R)-[(4-methoxybenzoyl)amino]phenylacety l]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-51-6 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-4-piperidinyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313490-52-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(2R)-[(1H-indol-6-ylcarbonyl)amino]phenylacetyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 313490-53-8 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-(4-piperidinylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2000:824101 HCAPLUS

DOCUMENT NUMBER:

134:5154

TITLE:

Preparation of cyclic amine derivatives as remedies or

preventives for diseases in association with

chemokines or chemokine receptors

INVENTOR(S):

Shiota, Tatsuki; Miyagi, Fuminori; Kamimura, Takashi; Ohta, Tomohiro; Takano, Yasuhiro; Horiuchi, Hideki

PATENT ASSIGNEE(S): Teijin Limited, Japan SOURCE: PCT Int. Appl., 405 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KINI				ИD	DATE			APPLICATION NO.					DATE					
	WO	2000069432			A1		20001123			WO 2000-JP3203				3	20000518			
		W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,
			CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,
			ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,
			LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,
			SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,

ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1179341 A1 20020213 EP 2000-927808 20000518 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE, SI, LT, LV, FI, RO NO 2001005599 20011116 NO 2001-5599 20011116 Α PRIORITY APPLN. INFO.: JP 1999-175856 A 19990518 JP 1999-251464 Α 19990906 WO 2000-JP3203 W 20000518

OTHER SOURCE(S): MARPAT 134:5154

Remedies or preventives for diseases in assocn. with chemokines such as MIP-1.alpha. and/or MCP-1 or chemokine receptors such as CCR1 or CCR2 contain as the active ingredient N-acyl-amino acid N-cyclic amino or N-cyclic aminoalkyl-amide derivs. represented by general formula [I; (un) substituted Ph, C3-8 cycloalkyl, arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and/or N; R2 = H, (un)substituted C1-6 alkyl, C2-7 alkoxycarbonyl, HO, (un) substituted Ph; p1, m1 = 0-2; m = 2-4; n = 0,1; R3 = H, (un) substituted C1-6 alkyl; R4, R5 = H, OH, (un) substituted Ph or C1-6 alkyl; or R4 and R5 are combined together to form a 3- to 5-membered hydrocarbyl; p, q = 0.1; G = CO, SO2, CO2, NR7CO, CONR7, NR7SO2, or SO2NR7, NHCONH, NHCSNH, NH CO2, O2CNH; R7 = H, C1-6 alkyl; or R7 and R5 are combined together to form C2-5 alkylene; R6 = (un) substituted Ph, C3-8 cycloalkyl, C3-6 cycloalkenyl, CH2Ph, or arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and/or N, wherein Ph, CH2Ph, or arom. heterocyclyl group is optionally fused with (un) substituted benzene or arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and/or N], pharmaceutically acceptable acid-adducts thereof, or pharmaceutically acceptable C1-6 alkyl-adducts thereof. The above diseases include destruction of bone or cartilage (e.g. arthritis, rheumatoid arthritis, osteoarthritis, osteoporosis, injury, and tumor), nephritis, kidney diseases, glomerulus or interstitial nephritis, nephrotic syndrome, demyelinating disease, or multiple sclerosis. N-3-ethoxybenzyl-D-methionine-N-[1-(4-chlorobenzyl)-4piperazinylmethyl]amide in vitro inhibited the binding of human MIP-1.alpha. to THP-1 cells by >80% at 2 .mu.M.

IT 226248-06-2P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)

RN 226248-06-2 HCAPLUS

Benzeneacetamide, N-[[1-[(4-chlorophenyl)methyl]-4-piperidinyl]methyl].alpha.-[(3-ethoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2002 ACS

26

ACCESSION NUMBER:

2000:356765 HCAPLUS

DOCUMENT NUMBER:

133:806

TITLE:

Endothelin-converting enzyme inhibitors containing

amino compounds and their uses

INVENTOR(S):

Hasegawa, Hirohiko; Takamura, Masahiro; Tsutsumi,

Yasushi; Saji, Ikutaro; Ohashi, Naohito

PATENT ASSIGNEE(S):

Sumitomo Pharmaceuticals Co., Ltd., Japan

Jpn. Kokai Tokkyo Koho, 26 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. -----_____ JP 2000143636 A2 20000526 JP 1999-113737 19990421 PRIORITY APPLN. INFO.: JP 1998-248756 A 19980902

OTHER SOURCE(S):

MARPAT 133:806

Pharmaceuticals, useful for prevention or treatment of circulatory diseases, e.g. hypertension, atherosclerosis, angina pectoris, etc., airway constriction, neuronal disorders, endocrine dysfunction, vascular diseases, ulcer, neoplasm, gastric mucosal disorders, endotoxin shock, sepsis, and renal diseases, contain R1GCH(Q1R2)NR3R4 [G = CO, CH2; R1 = R5, NR5R6, OR5, SR5, NR6COR5, NR6SO2R5, CHR7NR5R6, NR7N:CR5R6, CR7:CR5R6; Q1 = direct bond, (un) substituted alkylene, alkenylene, alkynylene; R2 = H, (un) substituted cycloalkyl, (un) substituted cycloalkenyl, (un) substituted aryl or (un) substituted heterocycles], their prodrugs, or their pharmaceutically acceptable salts. N'-phenylcyclohexylmethylene-[2-benzoylamino-2-(3,4-dihydro-4-oxophthalazin-1-yl)]acetohydrazide inhibited rat pulmonary endothelin-converting enzyme at IC50 5.6 .mu.M.

270080-52-9P 270080-61-0P IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of amino compds. as endothelin-converting enzyme inhibitors and

their uses)

270080-52-9 HCAPLUS RN

1-Phthalazineacetamide, .alpha.-(benzoylamino)-3,4-dihydro-4-oxo-N-[1-CN (phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN270080-61-0 HCAPLUS

1-Phthalazineacetamide, .alpha.-(benzoylamino)-3,4-dihydro-4-oxo-N-[1-CN (phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER:

1999:350650 HCAPLUS

DOCUMENT NUMBER:

131:18925

TITLE:

Preparation of cyclic amine derivatives for inhibition

of the action of chemokines such as MIP-1.alpha.

and/or MCP-1 on target cells

INVENTOR(S):

Shiota, Tatsuki; Kataoka, Kenichiro; Imai, Minoru; Tsutsumi, Takaharu; Sudoh, Masaki; Sogawa, Ryo; Morita, Takuya; Hada, Takahiko; Muroga, Yumiko; Takenouchi, Osami; Furuya, Monoru; Endo, Noriaki;

Tarby, Christine M.; Moree, Wil A.; Teig, Steven L.

PATENT ASSIGNEE(S): Teijin Ltd., Japan; Combichem, Inc.

SOURCE:

PCT Int. Appl., 374 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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PATENT NO.
                    KIND DATE
                                       APPLICATION NO. DATE
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                          19990527 WO 1998-US23254 19981117
    WO 9925686
                   A1
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,
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PRIORITY APPLN. INFO.:
                                                     A 19980406
                                      US 1998-55285
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                                                      A 19980813
                                      WO 1998-US23254 W 19981117
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OTHER SOURCE(S): MARPAT 131:18925

The title compds. [I; R1 = (un) substituted Ph, cycloalkyl, heteroaryl, etc.; R2 = H, alkyl, alkoxycarbonyl, etc.; j = 0-2; k = 0-2; m = 2-4; n = 0-1; R3 = H, alkyl; R4, R5 = H, OH< Ph, etc.; p = 0-1; q = 0-1; G = CO, SO, CO2, etc.; R6 = Ph, cycloalkyl, cycloalkenyl, etc.] and their pharmaceutically acceptable acid addn. salts which inhibit the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells and may be useful as a therapeutic drug and/or preventative drug in diseases, such as atherosclerosis, rheumatoid arthritis, and the like where blood monocytes and lymphocytes infiltrate into tissues, were prepd. Thus, reaction of N-benzoylglycine with 3-amino-1-(4-chlorobenzyl)pyrrolidine.2HCl in the presence of 3-ethyl-1-[3-(dimethylaminopropyl)]carbodimide.HCl, 1-hydroxybenzotriazole and Et3N in CHCl3 afforded 95% II which showed 50-80% inhibition of MIP-1.alpha. binding to THP-1 cells at 10 .mu.M.

IT 226248-06-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine derivs. for inhibition of the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells)

RN 226248-06-2 HCAPLUS

CN Benzeneacetamide, N-[[1-[(4-chlorophenyl)methyl]-4-piperidinyl]methyl]-

.alpha.-[(3-ethoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT